

1	2	3	4	5	6	7	8	9	10
Y/F	X	L/M	L/M/A/I	X	G/A	X	Hydrophobic	P	F/Y

Figure 1

1	2	3	4	5	6	7	8	9	10
Y	E	M	L/M/A	X	G	X	P	P	F
11	12	13	14	15	16	17	18	19	20
X	A/G	D/E/Q	D/E/Q/N	P/E	D/E/I	D/E/Q	I/L	Y/F	Q/E

Figure 2

SERINE\THREONINE KINASES

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
RAF	Y F W	E Q E* N D D*	L I M V I	M V L I	T A S	G A	E Q D E* D*	L I M V	P	Y F W	S A T	H N D Q E E*	I L M V Q E E*	N D* D Q Q E E*	N D* D Q Q E E*	R X	D N D* Q E E*	Q E E* N D D*	I L M V	I L M V
CAPK	Y F W	E Q E* D D* N	M V L I	A G	A V M L I	G A	Y F W	P	P	F Y W	F Y W	A G	D N D* Q E E*	Q E E* N D* D*	P	I L M V	Q E E* N D D*	I L M V	Y F W	E Q E* N D D*
PKC	Y F W	E Q E* D D* N	M V L I	L I V	A I C L M V	G A	Q H E E*	P A S	P	F Y W	D E H Q N D* E*	G A	E D Q N E* D* E*	D N Q D* E D* E*	E Q E* N D* E*	D E Q N D* E*	E D Q N D* E*	L I M V	F Y W	Q E H E*
βARK1.2	F Y W	K O	L I M V	I L M V	R X	G A	H	S T	P	F Y W	R X	Q E D N E* D*	H	K O	T S	K O	D N D* Q E E*	K O	H	E Q N D D* E*
CaMK	Y F W	I L M V	L I M V	L I M V	V L M C I	G A	Y F W	P	P	F Y W	W Y F	D N D* Q E E*	E Q N D* E D* E*	D N Q D* E D	Q E D* E*	H	R K X O	L I M V	Y F W	Q E E* D D* N

Figure 3A

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
POLO	Y F W	T S	L M I V	L I M V	V L I M	G A	K R O X	P	P	F Y W	E D Q N E* D*	T S	S T	C T S	L V I M	K O	E D N Q E* D*	T S	Y F W	L I V M
Akt/ PKB	Y F W	E E* D	M L I V	M L I V	C S T	G A	R X	L M I V	P	F W Y	Y W F	N Q	Q N	D D* E E*	H K O	E E* D D*	R X K O V	L M I V	F Y W	E E* D
GRK1	Y W F	E E* D	M I L V	I M L V	A G	A G	R X	G A	P	F W	R X Y	A G	R X	G A	E E* D D*	K O D D*	V M H L	E E* I L	N Q D D*	K O H
GRK4	Y F W	E E* D	M I L V	I L M V	Q N	G A	H K O	S T	P	F W Y	K O H	K O H	Y F W	K O H	E E* D D*	K O H L	V M I L	K O H	W F Y	E E* D D*
GRK5	Y F W	E E* D	M I L V	I L M D	E E* D	G A	Q N	S T	P	F W Y	R X	G A	R X	K O H	E E* D D*	K O H L	V M I L	K O H	R X	E E* D D*
GRK6	Y F W	E E* D	M I L V	I L M V	A G	G A	Q N	S T	P	F W	Q N Y	Q N	R X	K O	K O H	K O H	I M H	K O V L	R X H	E E* D D*
GSK3	A G	E E* D	L I M V	L I M V	L I M V	G A	Q N	P	I L M V	F Y W	P	G A	D D* E E*	S T	G A	V L I M	D D* E E*	Q N	L I M V	V L I M

D* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of aspartic acid
E* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of glutamic acid
X = N-nitroarginine, β -cycloarginine, γ -hydroxyarginine, amidinocitroline or 2-amino-4-guanidinobutanoic acid
O = Ornithine

Figure 3B

RAF

HJ38	Ac-	V	M	T	G	Q'	L	P	F	-NH ₂
J41	Ac-	V	M	T	G	E!	L	P	F	-NH ₂

POLO

J42	Ac-	M	L	L	G	R	P	P	F	E!	-NH ₂
J43	Ac-	M	L	L	G	K	P	P	F	NH ₂	
J43.1	Ac-	M	L	L	G	K	P	P	F	E!	-NH ₂
J45			Ac-	L	G	R	P	P	F	E!	T S -NH ₂
J46	Ac-	M	L	L	G	R	P	P	F	E!	T S -NH ₂

AkT/PKB

J47			Ac-		G	R	L	P	F	F	N	-NH ₂
J48	Ac-	E!	M	M	S	G	R	L	P	F	F	N -NH ₂

GSK3

J29	Ac-	L	L	L	G	Q	P	I	F	P	G	-NH ₂
-----	-----	---	---	---	---	---	---	---	---	---	---	------------------

E! - Benzyl Ester of Glutamic Acid

Figure 4

K048H101, μM	collagen production, % of control
0	100
0.1	92
0.2	88
0.5	85
1.0	80
2.0	65
3.0	45
4.0	25
5.0	5

Figure 5

Activin/TGFbR
 ACTRII

Peptide N_terminal		C_terminal	
K095H101	Myristyl - G	G P V D E Y M L P F	NH2

ALK1

Peptide N_terminal		C_terminal	
K048H101	Myristyl - G	G I V E D Y R P P F	NH2
K048H901	Stearyl - G	G I V E D Y R P P F	NH2

ALK3

Peptide N_terminal		C_terminal	
K098H101	Myristyl - G	G I V E E Y Q L P Y	NH2
K098H901	Stearyl - G	G I V E E Y Q L P Y	NH2

ALK4

Peptide N_terminal		C_terminal	
K099H101	Myristyl - G	G Q V H E E Y Q L P Y	NH2

TGFbRII

Peptide N_terminal		C_terminal	
K093H101	Myristyl - G	G E V K D Y E P P F	NH2

Akt/PKB
 Akt1/Raca

Peptide N_terminal		C_terminal	
K014H101	Myristyl - G	M M S G R L P	NH2
K014H010	(Free NH2)	M C G R L P	NH2
K014H111	Myristyl - G	M M C G R L P	NH2

CAPK
 cAPKa

Peptide N_terminal		C_terminal	
K004H001	Acetyl	M A A G Y P	NH2
K004H002	Acetyl	M A A G Y P P F F	NH2

CDK

Figure 6A

CDK4

DAPK
DAPK

GRK
bARK1

GSK3
GSK3b

IAK
Iak1

K087H001	Acetyl	F L V G M P P F	NH2
K087H101	Myristyl -G	F L V G M P P	NH2
K087H102	Myristyl -G	F L V G M P	NH2

Figure 6B

IKK IKK-1	K087H103	Myristyl -G	F L V G M P P F E	NH2
	Peptide N_terminal			C_terminal
IKK-2	K090H101	Myristyl -G	I A G Y R P F L	NH2
	Peptide N_terminal			C_terminal
ILK ILK	K091H001	Acetyl	I T G F R P F L	NH2
	K091H101	Myristyl -G	I T G F R P F L	NH2
MARK/p78 MARK1	Peptide N_terminal			C_terminal
	K107H001	Acetyl	L V T R E ! V	NH2
PKC PKCb	K107H101	Myristyl -G	L V T R E V P F	NH2
	K107H102	Myristyl -G	L V T R E V	NH2
	K107H901	Stearyl - G	L V T R E V P F	NH2
	Peptide N_terminal			C_terminal
	K045H101	Myristyl -G	L V S G S	NH2
	K045H102	Myristyl -G	L V S G S L P	NH2
	Peptide N_terminal			C_terminal
	K008H001	Acetyl	M L A G Q A P F	NH2
	K008H101	Myristyl -G	M L A G Q A P	NH2
	K008H102	Myristyl -G	M L A G Q A	NH2
	K008H103	Myristyl -G	M L A G Q A P F E	NH2
	Peptide N_terminal			C_terminal

Figure 6C

POLO
Plk

Peptide N_terminal			C_terminal
K035H001	Acetyl	L L V G K P P F	NH2
K035H101	Myristyl -G	L L V G K P P	NH2

SNK

Peptide N_terminal			C_terminal
K038H101	Myristyl -G	M L L G R P P F E!	NH2
K038H102	Myristyl -G	M L L G R P P	NH2

RAF
Braf

Peptide N_terminal			C_terminal
K003H103	Myristyl -G	L M T G Q L	NH2
K003H104	Myristyl -G	L M T G Q L P Y S	NH2

c-Raf

Peptide N_terminal			C_terminal
K001H102	Myristyl -G	L M T G E L	NH2
K001H103	Myristyl -G	L M T G E L P Y S	NH2

Figure 6D